10/591,172 - R1 - Sekine et al. - Search Notes - CAPLUS search Connecting via Winsock to STN

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NEWS NEWS	1 2	NOV	21	Web Page for STN Seminar Schedule - N. America CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-,
NIPLIO	2	7.7014	2.0	and Japanese-language basic patents from 2004-present MARPAT enhanced with FSORT command
NEWS NEWS	3 4	NOV NOV		CHEMSAFE now available on STN Easy
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CMTM	J			searching
NEWS	6	DEC		ChemPort single article sales feature unavailable
NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN	06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN	07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	0.2	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS		FEB		COMPENDEX reloaded and enhanced
NEWS		FEB		WTEXTILES reloaded and enhanced
NEWS		FEB		New patent-examiner citations in 300,000 CA/CAplus
1111110	10		17	patent records provide insights into related prior art
NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	23	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	25	MAR	11	ESBIOBASE reloaded and enhanced
NEWS	26	MAR	20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	27	MAR	23	CA/CAplus enhanced with more than 250,000 patent

equivalents from China

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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0.22 0.22

FULL ESTIMATED COST

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=> Uploading A:\10.591172.R1.Sekine et al.,SRNT.CAPLUS..str

L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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2.2% PROCESSED 2000 ITERATIONS 9 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1810017 TO 1845983
PROJECTED ANSWERS: 7010 TO 9442

L2 9 SEA SSS SAM L1

=> d 12

L2 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2009 ACS on STN

RN 1090486-89-7 REGISTRY

ED Entered STN: 26 Dec 2008

CN 1H-Benzotriazole-6-carboxamide, N-cyclopentyl- (CA INDEX NAME)

MF C12 H14 N4 O

SR Chemical Library

Supplier: Ambinter

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> s 11 sss full FULL SEARCH INITIATED 17:27:27 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1822235 TO ITERATE

54.9% PROCESSED 1000000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.07

4331 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 1822235 TO 1822235

PROJECTED ANSWERS: 7626 TO 8158

L3 4331 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 188.89 189.11

FILE 'CAPLUS' ENTERED AT 17:27:41 ON 27 MAR 2009
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FILE COVERS 1907 - 27 Mar 2009 VOL 150 ISS 14 FILE LAST UPDATED: 26 Mar 2009 (20090326/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 17:25:54 ON 27 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:26:11 ON 27 MAR 2009

L1 STRUCTURE UPLOADED

L2 9 S L1 SSS SAM L3 4331 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:27:41 ON 27 MAR 2009

=> s 13

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4017 PHOSPHORAMIDIT?

3 L4 AND PHOSPHORAMIDIT? L5

=> d 15 ed ibib abs hitstr 1-3

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN L5

Entered STN: 07 Feb 2008

ACCESSION NUMBER: 2008:157070 CAPLUS

DOCUMENT NUMBER: 148:239456

TITLE: Method for introducing 2-cyanoethoxymethyl

nucleic-acid-protecting group at 2'-hydroxy group of

nucleic acid

INVENTOR(S): Kitagawa, Hidetoshi; Uetake, Kouichi PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

PCT Int. Appl., 57pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE			APPLICATION NO.							DATE		
WC	2008	 0160	 79		A1 20080207			1	WO 2	007-		20070801						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,	
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										
PRIORIT	PRIORITY APPLN. INFO.:									JP 2	006-	2104	39	A 20060802				
OTHER SOURCE(S):					MAR:													

OTHER

GI

The object is to provide a method for introducing a substituent AΒ CH2OCH2CH2WG1 (WG1 = an electron-attracting group) into a 2'-hydroxyl group of a ribose moiety in a RNA derivative having a 3'-hydroxyl group and a 5'-hydroxyl group each protected by a silicon protecting group, in a

simple manner and at a low cost. Specifically, a method for producing a RNA derivative represented by the general formula (I; Bz = a nucleotide which may have a protecting group; WG1 = an electron-attracting group; R3 = alkyl or aryl; A = a silicon substituent) comprises reacting a RNA derivative represented by the general formula (II; Bz, A = same as above) with a monothioacetal compound represented by the general formula R3SCH2OCH2CH2WG1 (III) wherein iodine is used as a reagent for the halogenation of a sulfur atom in the monothioacetal compound III in the presence of an acid. 2'-(2-Cyanoethoxymethyl)nucleosides I can be further converted into 2'-(2-cyanoethoxymethyl)ribonucleoside 3'-phosphoramidites. Thus, 50.6 g 3',5'-O-(tetraisopropyldisiloxan-1,3-diyl)uridine was dissolved in 104 mL THF, followed by adding 0.76 mL MeSO3H, 158 g I, and 16.4 g methylthiomethyl 2-cyanoethyl ether at 0°, and the resulting mixture was allowed to react for 45 min, treated with saturated aqueous NaHCO3 solution

and saturated sodium thiosulfate solution, extracted with ${\tt EtOAc}$ to give, after workup

and concentration under reduced pressure, crude 3',5'-O-(tetraisopropyldisiloxan-1,3-diyl)-2'-O-(2-cyanoethoxymethyl) uridine (IV). IV was treated with 300 mL MeOH and then with 11.6 g ammonium fluoride under stirring, and stirred at 50° for 7.5 h, followed by treatment with MeCN, filtration, and washing the filtrate with hexane, and concentration under reduced pressure to give 21.5 g 2'-O-(2-cyanoethoxymethyl) uridine (63%).

IT 735279-59-1, Benzotriazole triflate

RL: RGT (Reagent); RACT (Reactant or reagent)
(method for introducing 2-cyanoethoxymethyl protecting group at
2'-hydroxy group of ribonucleosides by etherification with
methylthiomethyl cyanoethyl ether and iodine in presence of acid)

RN 735279-59-1 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, compd. with 1H-benzotriazole (1:1) (CA INDEX NAME)

CM 1

CRN 1493-13-6 CMF C H F3 O3 S

CM 2

CRN 95-14-7 CMF C6 H5 N3

7

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN L5

ED Entered STN: 03 Mar 2006

ACCESSION NUMBER: 2006:193342 CAPLUS

144:274495 DOCUMENT NUMBER:

TITLE: Preparation of nucleoside phosphoramidite compounds and method for producing oligo-RNA

INVENTOR(S): Ohqi, Tadaaki; Ishiyama, Kouichi; Masutomi, Yutaka

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.								KIND DATE			APPLICATION NO.						DATE			
– W) 20	 0060)223:	23		A1		2006	0302		WO	2005-	 JP15	420		2	0050	 825		
	V	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BE	B, BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
			CN.	CO,	CR.	CU,	CZ,	DE,	DK,	DM,	DZ	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
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			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MΓ	, MG,	MK,	MN,	MW,	MX,	MZ,	NA,		
												RO,								
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			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	MI	, MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,		
			GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ	Z, TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,		
			KG,	KΖ,	MD,	RU,	ТJ,	TM												
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			IS,		,		LU,	LV,	MC,	NL,	PΙ	, PT,	RO,	SE,	SI,	SK,	TR			
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												2005-								
											WO	2005-	JP15	420		W 2	0050	825		
OTHER	SOUE	RCE	(S):			MAR:	PAT	144:	2744	95										

GΙ

$$R^{1-0}$$
 $Q=$ R^{12} R^{12} R^{12} R^{13}

AΒ Disclosed is a novel phosphoramidite compound which is useful for synthesis of an oligo-RNA. Nucleoside phosphoramidite compds. represented by the following general formula (I) [Bx represents a nucleic acid base which may have a protecting group; R1 represents a substituent represented by the following general formula Q (wherein R11, R12 and R13 may be the same or different and resp. represent a hydrogen or an alkoxy); R2a and R2b may be the same or different and resp. represent an alkyl or form a 5-6 membered saturated amino ring group together with an adjacent nitrogen atom, and the saturated amino ring group may have an oxygen atom or a sulfur atom as a ring-forming atom other than the nitrogen atom; WG1 and WG2 may be the same or different and resp. represent an electron-withdrawing group] are prepared These nucleoside phosphoramidites having ether protecting groups 2'-hydroxy protecting group with straight chain-substituents are not sterically hindered around the phosphorus atom linked to the 3-hydroxy group and allow the condensation reaction to proceed in a very short period of time in good yields and give oligo-RNA of high purity by using almost the same method for the preparation of oligo-DNA. Thus, 546 mg 5'-O-(4,4'-Dimethoxytrityl)uridine was dissolved in 4 mL 1,2-dichloroethane, treated with 452 mg diisopropylethylamine and then with 365 mg dibutyltin dichloride, allowed to react at room temperature for 1 h,

heated to 80°, treated dropwise with 144.4 mg chloromethyl 2-cyanoethyl ether, and stirred for 30 min to give, after workup and silica gel chromatog., 34% 5'-O-(4,4'-dimethoxytrityl)-2'-O-(2cyanoethoxymethyl)uridine (II). II (209 mg) and 23 mg tetrazole were dissolved in 2 mL MeCN, treated dropwise with 150 mg 2-Cyanoethyl N,N,N,N'-tetraisopropylphosphorodiamidite, stirred at 45° for 1.5 h to give, after workup and silica gel chromatog., 5'-O-(4,4'-dimethoxytrityl)-2'-O-(2-cyanoethoxymethyl)uridine 3'-O-(2-cyanoethyl N, N-diisopropylphosphoramidite). Similarly, N4-acetyl-5'-O-(4,4'-dimethoxytrityl)-2'-O-(2-cyanoethoxymethyl)cytidine 3'-O-(2-cyanoethyl N, N-diisopropylphosphoramidite), N2-acety1-5'-O-(4,4'-dimethoxytrity1)-2'-O-(2-cyanoethoxymethy1) quanosine 3'-O-(2-cyanoethyl N, N-diisopropylphosphoramidite), N2-phenoxyacetyl-5'-O-(4,4'-dimethoxytrityl)-2'-O-(2cyanoethoxymethyl)guanosine 3'-0-(2-cyanoethyl N, N-diisopropylphosphoramidite), and N6-acetyl-5'-O-(4,4'-dimethoxytrityl)-2'-O-(2-cyanoethoxymethyl) adenosine 3'-O-(2-cyanoethyl N,N-diisopropylphosphoramidite) were prepared These nucleoside phosphoramidites were used to prepare RNAs by the phosphoramidite solid-phase method. 735279-59-1

RL: RGT (Reagent); RACT (Reactant or reagent)

ΙT

(preparation of phosphoramidite compound and method for producing oligo-RNA)

RN 735279-59-1 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, compd. with 1H-benzotriazole (1:1) (CA INDEX NAME)

CM 1

CRN 1493-13-6 CMF C H F3 O3 S

CM 2

CRN 95-14-7 CMF C6 H5 N3

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 04 Mar 2005

ACCESSION NUMBER: 2005:182910 CAPLUS

DOCUMENT NUMBER: 142:274986

TITLE: SERRS beacon dual labeled oligonucleotide probes for nucleic acid sequence identification and diagnostic

applications

INVENTOR(S): Graham, Duncan; Smith, William Ewen; Fruk, Ljiljana

PATENT ASSIGNEE(S): University of Strathclyde, UK

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.							DATE		
WO 2005	0198	12		A1		2005	0303	,	WO 2	004-	GB36	71		2	0040	826	
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝI,	
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1658488 20060524 EP 2004-768226 Α1 20040826 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK JP 2007503581 Τ 20070222 JP 2006-524420 20040826 US 20060246460 A1 20061102 US 2006-569698 20060525 PRIORITY APPLN. INFO.: GB 2003-19949 20030826 WO 2004-GB3671 20040826

OTHER SOURCE(S): MARPAT 142:274986

The present invention relates to methods and materials for detecting or identifying particular nucleic acid sequences in a sample using modified mol. beacons. The invention provides modified mol. beacons detectable by surface enhanced Raman spectroscopy (SERS) (SERRS Beacons) and related materials, processes, and methods of use. The SERRS Beacon is a dual labeled probe with a different dye at each of its two ends. conventional Beacons a quencher such as DABCYL is used with a dye. In the present invention, one of the dyes is specifically designed such that it is capable of immobilizing the oligonucleotide probe onto an appropriate metal surface. In use, the SERRS Beacon is immobilized in the "closed state" on the metal surface, and this has the effect that due to the closeness to the surface of the colored species a SERRS spectrum corresponding to both dyes is detectable. When the complementary sequence hybridizes, the SERRS Beacon opens up and one of the dyes is removed from the surface - this causes the SERRS signals to change to show only the dye on the surface, not the other dye. The wide combination of different dyes offers a massive coding potential for simultaneous multiplexed anal. of DNA/RNA sequences. The method can be used for diagnosis or prognosis of a disease, or for gene expression profiling.

IT 797043-51-7 797043-55-1 797043-56-2 797043-57-3 797043-60-8 847145-55-5

847145-56-6

RN

RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)

(quencher dye; SERRS beacon dual labeled oligonucleotide probes for nucleic acid sequence identification and diagnostic applications) 797043-51-7 CAPLUS

CN 1H-Benzotriazol-6-amine, 7-[2-(2,4-dimethoxyphenyl)diazenyl]- (CA INDEX NAME)

RN 797043-55-1 CAPLUS

CN 1H-Benzotriazol-6-amine, 7-[2-(4-nitrophenyl)diazenyl]- (CA INDEX NAME)

RN 797043-56-2 CAPLUS

CN Benzonitrile, 4-[2-(6-amino-1H-benzotriazol-7-yl)diazenyl]- (CA INDEX NAME)

RN 797043-57-3 CAPLUS

CN 1H-Benzotriazol-6-amine, 7-[2-(2,4-dinitrophenyl)diazenyl]- (CA INDEX NAME)

RN 797043-60-8 CAPLUS

CN 1-Naphthalenecarbonitrile, 4-[2-(6-amino-1H-benzotriazol-7-yl)diazenyl]- (CA INDEX NAME)

RN 847145-55-5 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[7-[2-(3,5-dimethoxyphenyl)diazenyl]-1H-benzotriazol-6-yl]- (CA INDEX NAME)

RN 847145-56-6 CAPLUS

CN Butanoic acid, 4-oxo-4-[[[2,3,4,7-tetrahydro-2-[7-[2-(4-methoxyphenyl)diazenyl]-1H-benzotriazol-6-yl]-1,3-dioxo-4,7-epoxy-1H-isoindol-4-yl]methyl]amino]- (CA INDEX NAME)

TT 797043-52-8P
RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses) (quencher dye; SERRS beacon dual labeled oligonucleotide probes for nucleic acid sequence identification and diagnostic applications)
RN 797043-52-8 CAPLUS

CN 1H-Benzotriazol-6-amine, 7-[2-(3,5-dimethoxyphenyl)diazenyl]- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s hydroxybenzotriazole

=> s 17 and phosphoramidit? 4017 PHOSPHORAMIDIT?

14 L7 AND PHOSPHORAMIDIT? 1.8

=> d 18 ed ibib abs hitstr

ANSWER 1 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN L8

Entered STN: 09 Sep 2005

ACCESSION NUMBER: 2005:984074 CAPLUS

DOCUMENT NUMBER: 143:286633

TITLE: Novel method of synthesizing nucleic acid without

protecting nucleotide bases

INVENTOR(S): Sekine, Mitsuo; Seio, Kohji; Ohkubo, Akihiro PATENT ASSIGNEE(S): Japan Science and Technology Agency, Japan

SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
	WO 2005082923			A1 20050909		WO 2005-JP3053					20050224								
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NΙ,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
			MR,	ΝE,	SN,	TD,	ΤG												
	CA	2558	581			A1		2005	0909	1	CA 2	005-	2558	581		2	0050	224	
	EP	1721	908			A1		2006	1115		EP 2	005-	7106	54		2	0050	224	
		R:	DE,	FR,	GB														
PRIO	RIT	Y APP	LN.	INFO	.:						JP 2	004-	5670	7	A 20040301				
										,	WO 2	005-	JP30	53	,	W 2	0050	224	

AB It is intended to provide a novel method of synthesizing a nucleic acid oligomer whereby at least 10-mer of nucleic acid mol. oligomer (for example, a 20-mer) can be synthesized at an extremely high purity by the phosphoramidite solid phase method without protecting nucleotide bases, compared with the conventional method without nucleotide base protection allowing the synthesis of a 12-mer at the highest. Namely, a method of synthesizing a nucleic acid oligomer is characterized in that an alc. type activator or a combination of an alc. type activator with an acid catalyst is used in the phosphoramidite method. The alc. type activator is a compound capable of forming active phosphite intermediate, e.g. hydroxybenzotriazole (HOBt), its derivative, or phenols, but not aliphatic hydrocarbon alc. DNA oligomers are useful in high throughput preparation of DNA chips for gene diagnosis using single nucleotide polymorphisms (SNP) anal. (no data). Thus, d[CCCCCTTTTCTCTCTCT] was prepared by the solid phase method using an Applied Biosystems DNA/RNA synthesizer 392, thymidine-linked to polymer support through a succinyl linker, 5'-4,4'-dimethoxytrityl-nucleoside 3'-phosphoramidite, 6-trifluoromethylbenzotriazol-1-ol (alc. type activator), and benzimidazolium triflate (catalyst).

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 17:25:54 ON 27 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:26:11 ON 27 MAR 2009

L1 STRUCTURE UPLOADED

L2 9 S L1 SSS SAM L3 4331 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:27:41 ON 27 MAR 2009

L4 763 S L3

L5 3 S L4 AND PHOSPHORAMIDIT?
L6 0 S HYDROXYBENZOTRIAZOLE-1-OL
L7 3848 S HYDROXYBENZOTRIAZOLE
L8 14 S L7 AND PHOSPHORAMIDIT?

=> d 18 ed ibib abs hitstr 2-14

L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 11 Feb 2005

ACCESSION NUMBER: 2005:120077 CAPLUS

DOCUMENT NUMBER: 142:198303

TITLE: Solid-phase preparation of asymmetric pyrophosphoric

acid esters

INVENTOR(S): Sekine, Mitsuo; Seio, Yasushi; Okubo, Akihiro PATENT ASSIGNEE(S): Japan Science and Technology Agency, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005035912	A	20050210	JP 2003-198932	20030718
PRIORITY APPLN. INFO.:			JP 2003-198932	20030718
OTHER SOURCE(S):	MARPAT	142:198303		

AB R1OP(0)0(0-)0(0-)P(0)0R8 (1; R1, R8 = ester residue) were prepared by condensation of R1O(R2R3N)POPG (R1 = ester residue; R2, R3 = alkyl, aryl; PG = protective group) with HOP(0)(0-)0R4 (R4 = ester residue bound to solid phase) in the presence of 1-hydroxybenzotriazole and derivs., followed by deprotection, and finally separation from solid phases. Preparation of 1 (R1 = thymidin-5'-yl, R8 = thymidin-3'-yl) using a polystyrene support was exemplified.

L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 17 Aug 2004

ACCESSION NUMBER: 2004:667674 CAPLUS

DOCUMENT NUMBER: 141:332407

TITLE: O-Selectivity and Utility of Phosphorylation Mediated

by Phosphite Triester Intermediates in the

N-Unprotected Phosphoramidite Method

AUTHOR(S): Ohkubo, Akihiro; Ezawa, Yusuke; Seio, Kohji; Sekine,

Mitsuo

CORPORATE SOURCE: Department of Life Science, Tokyo Institute of

Technology, Yokohama, 226-8501, Japan

SOURCE: Journal of the American Chemical Society (2004),

126(35), 10884-10896

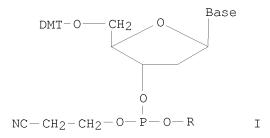
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:332407

GΙ



AΒ Previously, O-selective phosphorylation on polymer supports in the N-unprotected phosphoramidite method could not be carried out because the amino groups of dA and dC have high reactivity toward tervalent phosphorus(III)-type phosphitylating reagents. In this paper, we developed a new coupling strategy named the "activated phosphite method" in which the phosphitylation is mediated by phosphite triester intermediates [(I): Base = A, C, G, or T; DMT = 4,4'-dimethoxytrityl; R = 1-benzotriazolyl (Bt); 6-trifluoromethyl-Bt; 6-nitro-Bt; 4-nitro-6-trifluoromethyl-Bt; 2,4-dinitrobenzene]. Application of 1hydroxybenzotriazole as the promoter to the solid-phase synthesis resulted in excellent O-selectivity of more than 99.7%. This O-selectivity was explained by the frontier MO interactions between the reactive intermediates and the nucleophiles such as the amino or hydroxyl groups of nucleosides. Furthermore, longer oligonucleotides were synthesized not only by a manual operation but also by a DNA synthesizer. The utility of our new method was demonstrated by the successful synthesis of a base-labile modified oligodeoxyribonucleotide having 4-N-acetyldeoxycytidine residues. Finally, DNA 20-mers containing dA or dC could be synthesized in good yields by use of a combined reagent of 6-trifluoromethyl-1-hydroxybenzotriazole and benzimidazolium triflate.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 05 Jul 2004

ACCESSION NUMBER: 2004:536391 CAPLUS

DOCUMENT NUMBER: 142:280376

TITLE: Alternate synthesis pathways for preparing

 ${\tt Fmoc-trinucleoside-phosphoramidites}$

AUTHOR(S): Yanez, Jorge; Soberon, Xavier; Gaytan, Paul CORPORATE SOURCE: Instituto de Biotecnologia, Universidad Nacional

Autonoma de Mexico, Morelos, 62271, Mex.

SOURCE: Revista de la Sociedad Quimica de Mexico (2004),

48(1), 26-37

CODEN: RSQMAN; ISSN: 0583-7693 Sociedad Quimica de Mexico

PUBLISHER: Sociedad Quimica
DOCUMENT TYPE: Journal
LANGUAGE: Spanish

OTHER SOURCE(S): CASREACT 142:280376

AB Fmoc-trinucleoside-diphosphate phosphoramidites (Fmoc is fluorenylmethoxycarbonyl) are mols. composed of three nucleosides and have application as mutagenic units during automated synthesis of oligonucleotides. These synthons afford substitution of wild-type codons by complete mutant codons in a specific region of the target gene, avoiding at the protein level, the bias toward certain kind of amino acids that is generated with conventional methods of mutagenesis. In the present work, three organic synthesis pathways were explored for the preparation

of such valuable compds., setting as main goal the achievement of clean, one-pot internucleotidic reactions that enable the easy purification of the target compound by column chromatog. Syntheses were performed in liquid-phase and gram-scales through the phosphotriester method. The best pathway for the preparation of dinucleotides and trinucleotides made use of 2-chlorophenyl-O,O-bis(1-hydroxybenzotriazoly)phosphate as phosphorylating reagent.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 02 Apr 2004

ACCESSION NUMBER: 2004:271525 CAPLUS

DOCUMENT NUMBER: 140:304029

TITLE: Preparation of oligonucleotides from nucleosides

and/or nucleotides having unprotected base groups

INVENTOR(S): Sekine, Mitsuo; Okubo, Akihiro; Seio, Yasushi

PATENT ASSIGNEE(S): Sigma Genosys Japan Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004099532	А	20040402	JP 2002-264099	20020910
PRIORITY APPLN. INFO.:			JP 2002-264099	20020910
GI				

AB Oligonucleotides are prepared by phosphoramidite method using 1-hydroxybenzotriazole (I) as reaction promoter. Thus, thymidine

3'-O-phosphoramidite derivative II was coupled with

3'-O-(tert-butyldimethylsilyl) deoxyadenosine in the presence of I in MeCN at room temperature for 5 min and treated with iodine in aqueous pyridine at room

temperature for 2 min to give 91% dinucleotide.

L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 16 Jan 2004

ACCESSION NUMBER: 2004:40030 CAPLUS

DOCUMENT NUMBER: 141:7178

TITLE: A new approach for pyrophosphate bond formation starting from phosphoramidite derivatives by

use of 6-trifluoromethyl-1-

hydroxybenzotriazole-mediated O-N phosphoryl

migration

AUTHOR(S): Ohkubo, Akihiro; Aoki, Katsufumi; Seio, Kohji; Sekine,

Mitsuo

CORPORATE SOURCE: Department of Life Science, Tokyo Institute of

Technology, Midoriku, Yokohama, 226-8501, Japan

SOURCE: Tetrahedron Letters (2004), 45(5), 979-982

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:7178

AB A new method for pyrophosphate bond formation in the solid phase was developed using phosphoramidite derivs., which are readily converted by reaction with 6-trifluoromethyl-1-hydroxybenztriazole via an

O-N phosphoryl rearrangement into pentavalent phosphotriester intermediates. These intermediates proved to react smoothly with not only

phosphomonoesters but also phosphodiesters to give protected pyrophosphate derivs. which, in turn, could be easily deprotected to give the desired pyrophosphate derivs.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 01 Jun 2003

ACCESSION NUMBER: 2003:417543 CAPLUS

DOCUMENT NUMBER: 139:1984

TITLE: Synthesis of oligonucleotides probes and their use in

detection of nucleic acids and microarrays Bruce, Ian; Davies, Martin; Wolter, Andreas

PATENT ASSIGNEE(S): Proligo LLC, USA

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2003043402	A2 2003053		20021021			
WO 2003043402	A3 2003110	6				
W: AE, AG, AL,	AM, AT, AU, AZ	, BA, BB, BG, BR, BY, BZ,	CA, CH, CN,			
CO, CR, CU,	CZ, DE, DK, DM	, DZ, EC, EE, ES, FI, GB,	GD, GE, GH,			
GM, HR, HU,	ID, IL, IN, IS	, JP, KE, KG, KP, KR, KZ,	LC, LK, LR,			
LS, LT, LU,	LV, MA, MD, MG	, MK, MN, MW, MX, MZ, NO,	NZ, OM, PH,			
PL, PT, RO,	RU, SD, SE, SG	, SI, SK, SL, TJ, TM, TN,	TR, TT, TZ,			
UA, UG, UZ,	VC, VN, YU, ZA	, ZM, ZW				

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002366046
                                20030610
                                          AU 2002-366046
                         A1
                                                                    20021021
     US 20030143591
                          Α1
                                20030731
                                            US 2002-278047
                                                                    20021021
     US 6902900
                          В2
                                20050607
                          Α2
                                20040804
                                            EP 2002-803599
                                                                    20021021
     EP 1442142
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     US 20050233360
                         A1
                                20051020
                                            US 2005-83210
PRIORITY APPLN. INFO.:
                                             US 2001-336432P
                                                                 P 20011019
                                             US 2002-278047
                                                                 A3 20021021
                                            WO 2002-US33699
                                                                 W 20021021
     The invention comprises novel methods and strategies to detect and/or
AΒ
     quantify nucleic acid analytes. The methods involve nucleic acid probes
     with covalently conjugated dyes, which are attached either at adjacent
     nucleotides or at the same nucleotide of the probe and novel linker mols.
     to attach the dyes to the probes. The nucleic acid probes generate a
     fluorescent signal upon hybridization to complementary nucleic acids based
     on the interaction of one of the attached dyes, which is either an
     intercalator or a DNA groove binder, with the formed double stranded DNA.
     The methods can be applied to a variety of applications including
     homogeneous assays, real-time PCR monitoring, transcription assays,
     expression anal. on nucleic acid microarrays and other microarray
     applications such as genotyping (SNP anal.). The methods further include
     pH-sensitive nucleic acid probes that provide switchable fluorescence
     signals that are triggered by a change in the pH of the medium.
                               THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
L8
     Entered STN: 28 Jan 2001
                         2001:64762 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         134:252601
TITLE:
                         New phosphoramidite reagents for the
                         synthesis of oligonucleotides containing a cysteine
                         residue useful in peptide conjugation
AUTHOR(S):
                         Stetsenko, Dmitry A.; Gait, Michael J.
CORPORATE SOURCE:
                         Laboratory of Molecular Biology, Medical Research
                         Council, Cambridge, CB2 2QH, UK
SOURCE:
                         Nucleosides, Nucleotides & Nucleic Acids (2000),
                         19(10-12), 1751-1764
                         CODEN: NNNAFY; ISSN: 1525-7770
                         Marcel Dekker, Inc.
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
                         CASREACT 134:252601
OTHER SOURCE(S):
     The preparation is described of four 2-cyanoethyl-N,N-diisopropyl
AΒ
     phosphoramidites of N-\alpha-Fmoc-S-protected cysteine
     hydroxyalkyl amides. The phosphoramidites were used in solid-phase synthesis of 5'-cysteinyl oligonucleotides, useful
     intermediates in the preparation of peptide-oligonucleotide conjugates through
     reaction with a maleimide peptide or with a peptide thioester via "native
     ligation".
REFERENCE COUNT:
                         40
                               THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN L8

Entered STN: 18 May 1999

ACCESSION NUMBER: 1999:300484 CAPLUS DOCUMENT NUMBER: 131:127347

TITLE: Bifunctional Phosphoramidite Reagents for

the Introduction of Histidyl and Dihistidyl Residues

into Oligonucleotides

AUTHOR(S): Smith, Thomas H.; LaTour, John V.; Bochkariov, Dmitry;

Chaga, Grigoriy; Nelson, Paul S.

CORPORATE SOURCE: Nucleic Acids Chemistry Division, CLONTECH Laboratories Inc., Palo Alto, CA, 94303, USA

SOURCE: Bioconjugate Chemistry (1999), 10(4), 647-652

CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB The synthesis and characterization of reagents for the incorporation of histidyl residues into oligonucleotides by automated chemical synthesis is described. Automated oligonucleotide synthesis utilizing a bifunctional

reagent for the incorporation of a dihistidyl residue into

oligonucleotides is described. Oligonucleotides incorporating one to three dihistidyl residues were prepared and characterized. The interaction

of these oligonucleotides with a metal chelating IMAC matrix was explored. REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 15 Feb 1996

ACCESSION NUMBER: 1996:95023 CAPLUS

DOCUMENT NUMBER: 124:146750

ORIGINAL REFERENCE NO.: 124:27320h,27321a

TITLE: Preparation of 2-amino-2'-deoxyadenosine derivatives

as monomer unit for synthesis of oligonucleotides or

polynucleotides

INVENTOR(S): Sugyama, Hiroshi; Saito, Retsu; Hiramatsu, Mitsuo

PATENT ASSIGNEE(S): Hamamatsu Photonics Kk, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

OTHER SOURCE(S): MARPAT 124:146750

GI

The title compds. [I; R1 = H, COCHMe2, COCH2OAr, wherein Ar = aryl; R2 = AΒ H, P(OCH2CH2CN)N(CHMe2)2; R3 = H, dimethoxytrityl; R4, R5 = H, :CHNR6R6; wherein R6 = alkyl, cycloalkyl, aryl, aralkyl], which are useful as intermediates for an oligonucleotide or a polynucleotide containing a plural number of 2-amino-2'-deoxyadenosine units with increased hydrogen bonding strength between the adenine and thymine residue and useful as antisense compds. or hybridization probes, are prepared Thus, I (R1 = R2 = R3 = $\frac{1}{2}$ isobutyryl, R4 = R5 = H) was stirred in 1 N NaOH (pyridine:MeOH:H2O = 65:30:5) at 0° for 10 min and neutralized with aqueous 5% aqueous NH4Cl to give 75.1% I (R1 = isobutyryl, R2 - R5 = H), which was alkylated by trityl chloride in the presence of Et3N and 4-dimethylaminopyridine in pyridine to the 5'-O-dimethoxytrityl compound (60.0%), saponified with 1 N NaOH (pyridine:MeOH:H20 = 65:30:5) to the 2-amino-2'-deoxyadenosine I [R1 = R2 = R4 = R5 = H, R3 = 4,4'-dimethoxytrityl (DMT)] (47.2%), and silylated byMe3SiCl in pyridine and acylated by phenoxyacetyl chloride in pyridine and 1-hydroxybenzotriazole in MeCN and pyridine to give I (R1 = R5 = COCH2OPh, R2 = R4 = H, R3 = DMT). The latter compound was stirred with a mixture of aqueous NH3, EtOH, and CH2Cl2 under cooling for 3-4 h to give 88.4% Τ

(R1 = COCH2OPh, R2 = R4 = R5 = H, R3 = DMT), which was condensed with N,N-dibutylformamide di-Me acetal in pyridine at room temperature for 3 days to I (NR4R5 = N:CHNBu2, R1 = COCH2OPh, R2 = H, R3 = DMT) and then condensed with 2-cyanoethyl N,N-diisopropylchlorophosphoramidite in the presence of tetrazole in MeCN and pyridine to give 93.6% the title phosphoramidite I [NR4R5 = N:CHNBu2, R1 = COCH2OPh, R2 = P(OCH2CH2CN)N(CHMe2)2, R3 = DMT] (II). The latter compound II can be incorporated into an oligonucleotide or polynucleotide, and deprotected under normal deprotection condition (55° for 8 h) using 28% NH4OH whereas the conventional protective groups (e.g. benzoyl or isobutyryl) require a long reaction time (55° for 2-5 days) and result in a low yield of oligomers or DNA. For example, dimer d(2-amino-A)T (wherein 2-amino-A = 2-amino-2'-deoxyadenosine) was prepared by the solid phase method using an Applied Biosystems 381A automatic synthesizer and II. The 2-amino-A was completely deprotected by 28% NH4OH at 37° for 2 h.

L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

Ι

ED Entered STN: 30 Apr 1994

ACCESSION NUMBER: 1994:218405 CAPLUS

DOCUMENT NUMBER: 120:218405

ORIGINAL REFERENCE NO.: 120:38817a,38820a

TITLE: Synthesis of triple helix forming oligonucleotides

with a stretched phosphodiester backbone

AUTHOR(S): Rao, T. Sudhakar; Jayaraman, K.; Revankar, Ganapathi,

R.

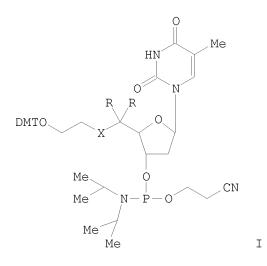
CORPORATE SOURCE: Triplex Pharm. Corp., The Woodlands, TX, 77380, USA

SOURCE: Tetrahedron Letters (1993), 34(39), 6189-92

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ



AB Total syntheses of novel DMT-phosphoramidites of deoxyribonucleosides, e.g. I (R = H, X = S; RR = O, X = NH), and their utility in the preparation of triple helix forming oligodeoxyribonucleotides with a stretched phosphodiester backbone are described.

L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 13 Apr 1990

ACCESSION NUMBER: 1990:135575 CAPLUS

DOCUMENT NUMBER: 112:135575

ORIGINAL REFERENCE NO.: 112:22837a, 22840a

TITLE: Preparation of oligonucleotide-polyamide conjugates

and their use as hybridization probes

INVENTOR(S): Haralambidis, Jim; Tregear, Geoffrey William

PATENT ASSIGNEE(S): Florey, Howard, Institute of Experimental Physiology

and Medicine, Australia

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8903849	 А1	19890505	WO 1988-AU417	19881025
W: AU, JP, US	VI	19090303	WO 1900-A0417	19001025
RW: AT, BE, CH,	DE, FR	, GB, IT, LU	, NL, SE	
AU 8826006	A	19890523	AU 1988-26006	19881025
AU 621572	В2	19920319		
EP 383803	A1	19900829	EP 1988-909271	19881025
EP 383803	В1	20000503		

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R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
                        T
    JP 03500773
                               19910221
                                          JP 1988-508563
                                                                 19881025
    EP 972779
                         Α2
                               20000119
                                          EP 1999-114825
                                                                 19881025
    EP 972779
                        А3
                              20041020
        R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
                T 20000515
    AT 192465
                                          AT 1988-909271
                                                                 19881025
                        С
    CA 1339205
                              19970805
                                          CA 1988-581421
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    US 5525465
                              19960611
                                         US 1995-367904
                                                                 19950103
                       A
                       A 19971014 US 1996-599193
A 19970513 JP 1996-203613
    US 5677440
                                                                 19960209
    JP 09124693
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A 19981208
    JP 3119171
    US 5846728
                                          US 1997-958885
                                                                 19971027
PRIORITY APPLN. INFO.:
                                          AU 1987-5111
                                                            A 19871028
                                          EP 1988-909271
                                                            A3 19881025
                                          JP 1988-508563
                                                             A3 19881025
                                          WO 1988-AU417
                                                             A 19881025
                                          US 1990-477995
                                                             B1 19900716
                                           US 1993-162789
                                                             B1 19931206
                                           US 1995-367904
                                                              A3 19950103
                                          US 1996-598963
                                                              A1 19960209
    The title conjugates, of formula X-L-Y (X is a polyamide; Y is an
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AB oligonucleotide; L is a linker), are provided; L forms a covalent bond with the amino-terminus of X and the 3'-phosphate of Y. Methods employing the conjugates as hybridization probes are also described. The conjugates may be synthesized with solid-phase synthesis methodol.; ≥ 1 reporter groups, e.g. biotin, may be added at different stages in the synthesis. 4-Nitrophenyl 3-[6-(4,4'-dimethoxytrityloxy)hexylcarbamoyl]propanoate (I) was prepared in 64% yield by reacting succinic anhydride and 6-aminohexanol with 4,4'-dimethoxytrityl chloride, then reacting the product with p-nitrophenol. The peptide (Ala-Lys)5-Ala was synthesized on derivatized controlled pore glass (CPG). The terminal amino group was deprotected and the CPG product was reacted with I and 1hydroxybenzotriazole. Following acetylation of residual amino groups and removal of protecting groups from the linker, oligonucleotide synthesis was commenced using Me N,N'-diisopropyl nucleoside phosphoramidites through production of a 30-mer complementary to a portion of mRNA encoding mouse kallikrein. The average coupling yield, by trityl assay, was >99%. Another probe, containing the same oligonucleotide but a different linker, a polyamide containing both natural and synthetic amino acids, and 10 biotin groups, was used to detect kallikrein mRNA in a $6~\mu m$ histochem. section of mouse submandibular gland. The probe strongly labeled distinct regions of the submandibular gland corresponding to the granular convoluted tubes, which are the site of expression of the majority of mouse kallikrein genes.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
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ED Entered STN: 11 Jan 1987

ACCESSION NUMBER: 1987:2511 CAPLUS

DOCUMENT NUMBER: 106:2511
ORIGINAL REFERENCE NO.: 106:491a,494a

TITLE: Efficient methods for attaching non-radioactive labels to the 5' ends of synthetic oligodeoxyribonucleotides AUTHOR(S): Agrawal, Sudhir; Christodoulou, Chris; Gait, Michael

J.

CORPORATE SOURCE: Lab. Mol. Biol., MRC, Cambridge, CB2 2QH, UK SOURCE: Nucleic Acids Research (1986), 14(15), 6227-45

CODEN: NARHAD; ISSN: 0305-1048

DOCUMENT TYPE: Journal LANGUAGE: English

AB The syntheses are described of 2 types of linker mol. useful for the specific attachment of nonradioactive labels such as biotin and fluorophores to the 5' terminus of synthetic oligodeoxyribonucleotides. The linkers are designed such that they can be coupled to the oligonucleotide as a final step in solid-phase synthesis by using com. DNA synthesis machines. Increased sensitivity of biotin detection was possible with an antibiotin hybridoma/peroxidase detection system.

L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 01 Sep 1984

ACCESSION NUMBER: 1984:473047 CAPLUS

DOCUMENT NUMBER: 101:73047

ORIGINAL REFERENCE NO.: 101:11281a,11284a

TITLE: Use of 2-methylsulfonylethyl as a phosphorus

protecting group in oligonucleotide synthesis via a

phosphite triester approach

AUTHOR(S): Claesen, C.; Tesser, G. I.; Dreef, C. E.; Marugg, J.

E.; Van der Marel, G. A.; Van Boom, J. H.

CORPORATE SOURCE: Dep. Chem., Univ. Nijmegen, Nijmegen, 6525 ED, Neth.

SOURCE: Tetrahedron Letters (1984), 25(12), 1307-10

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

AB MeSO2CH2CH2OPC12 was converted into the mono-N-morpholino derivative and applied for the preparation of 5'-O,N-protected deoxynucleoside-3'-phosphoramidites. The latter intermediates were used in the presence of 1-hydroxybenzotriazole for the formation of 3'-5'-phosphotriester linkages. The 2-methylsulfonylethyl protecting group was removed selectively and rapidly under mild basic conditions.

=> d his

L1

(FILE 'HOME' ENTERED AT 17:25:54 ON 27 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:26:11 ON 27 MAR 2009

STRUCTURE UPLOADED

L2 9 S L1 SSS SAM L3 4331 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:27:41 ON 27 MAR 2009

L4 763 S L3

L5 3 S L4 AND PHOSPHORAMIDIT?
L6 0 S HYDROXYBENZOTRIAZOLE-1-OL
L7 3848 S HYDROXYBENZOTRIAZOLE
L8 14 S L7 AND PHOSPHORAMIDIT?